

## **Product Specification Sheet**

SU6668 (Orantinib) **Product Name:** 

**Catalog Number:** C7866

**Technical information:** 

 $C_{18}H_{18}N_2O_3$ Chemical Formula:

> CAS #: 252916-29-3

Molecular Weight: 310.35

Purity: > 98%

Appearance: Orange solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: (Z)-3-(2,4-dimethyl-5-((2-oxoindolin-3-ylidene)methyl)-1H-pyrrol-3-yl)propanoic acid

Store solid powder at 4°C desiccated; Store DMSO solution at -20°C. Storage:

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months

under proper storage condition.

Handling: • To make 10 mM stock solution, add 0.322mL of DMSO for each mg of SU6668 (Orantinib).

• For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

**Biological Activity:** 

SU-6668 (TSU-68) is an ATP-competitive, oxindole-based inhibitor of Flk-1/KDR, PDGFRb, and FGFR1 phosphorylation with Ki values of 2.1, 0.008, and 1.2 uM, respectively. [1] At these inhibitory levels, it was shown that SU-6668 induces antiangiogenic and proapoptotic effects in vivo. [2, 3]. Oral administration of SU6668 induced tumor regression in A431, PC-3, NCI-HT29, and Colo205 cell lines, and tumor stasis in SF767T glioma and NCI-H460 cell lines. [2]

SU6668

(Orantinib)

In human myeloid leukemia cell lines and acute myeloid leukemia blasts, SU6668 was shown to inhibit the stem cell factor-induced phosphorylation of c-kit and ERK1/2 and induced apoptosis. [4]

- Reference: 1. Laird et al., SU6668 is a potent antiangiogenic and antitumor agent that induces regression of established tumors. Cancer Res. 2000, 60, 4152-4160. Pubmed ID: 10945623
  - 2. Laird et al., SU6668 inhibits Flk-1/KDR and PDGFRbeta in vivo, resulting in rapid apoptosis of tumor vasculature and tumor regression in mice. FASEB, 2002, 16(7), 681-690. Pubmed ID: 11978732
  - 3. Marzola et al., In vivo assessment of antiangiogenic activity of SU6668 in an experimental colon carcinoma model. Clin. Cancer Res. 2004, 10, 739-750. Pubmed ID: 14760097
  - 4. Smolich et al., The antiangiogenic protein kinase inhibitors SU5416 and SU6668 inhibit the SCF receptor (c-kit) in a human myeloid leukemia cell line and in acute myeloid leukemia blasts. Blood, 2001, 97, 1413-1421. Pubmed ID: 11222388

To reorder: http://www.cellagentech.com/SU6668-Orantinib/

For Technical Support: technical@cellagentech.com

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